

Activity of a Py-Im Polyamide Targeted to the Estrogen Response Element

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Supplementary Data

Supplementary Figures: 6

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Supplementary Figures

Supplementary Figure S1. Chemical structures of compounds **1-6**.

Supplementary Figure S2. Representative data from luciferase and cytotoxicity (wst-1) assays for compounds **1-4**.

Supplementary Figure S3. qRT-PCR analysis of Tff1 mRNA reduction after treatment with **1** for 96h is dose responsive.

Supplementary Figure S4. WST-1 cytotoxicity of **1** in T47D-KBLUC, LNCaP, A549, and U251 cells.

Supplementary Figure S5. **A**, Relative mRNA of E2 induced tff1 in the presence of **1** at 2 μ M. **B**, ER α occupancy at the tff1 promoter is reduced by **1**. The cells were incubated with **1** for 48 hours prior to induction with E2 (10 nM) for 45 minutes. The IC₅₀ for cytotoxicity of **1** at 48h in 10% CT-FBS/RPMI is 3.4 μ M.

Supplementary Figure S6. **A**, Serum concentrations of **1** after subcutaneous injection (120 nmol) into C57BL/6 mice. **B**, Weight curves after indicated injections of **1**. Gray arrows: 20 nmol, Black arrows: 30 nmol. n = 4 mice.

Supplementary Figure S7. Confocal microscopy of live, cultured T47D-KBLUC cells. **A**, Mean intensity of fluorescence/cell averaged over three images. Errors are 95%CI. **B**, Representative images of polyamides **5** and **6**.

Supplementary Figure S8. Confocal microscopy of live cells taken from T47D-KBLUC xenografts in mice treated with **5**.

Supplementary Tables

Supplementary Table S1. Table of genes up- or down- regulated by 2- and 4- fold by E2 or **1** (1.0 μ M).

Supplementary Table S2. Table of genes whose induction (or repression) by E2 is inhibited (or re-repressed) by **1**.

Supplementary Table S3. Gene ontology analysis of genes affected by **1** at 1 μ M at least 2- fold up or down using the DAVID functional annotation tool.